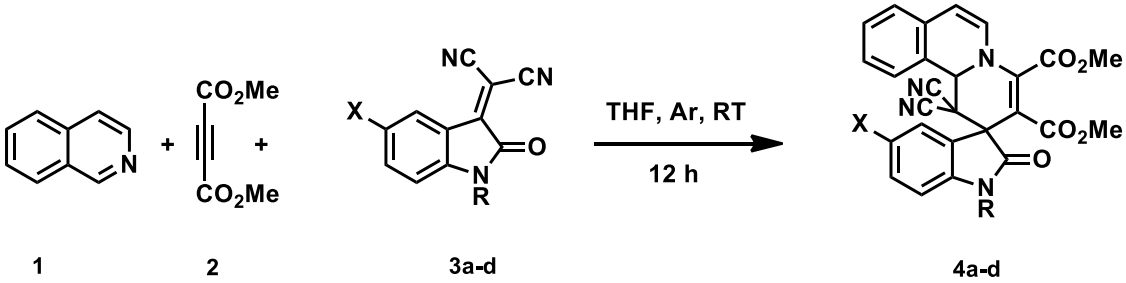
SUMMARY

The utility of natural products as drugs has been highly pursued in last decades, but the low abundance and synthetic intractability of natural products have limited their applications in drug discovery. Biology-oriented synthesis, is the key criterion to generate the natural product scaffolds. The spirocyclic oxindoles have shown their potential in designing new drugs, given their richness in sp^3 centers and distinct three-dimensionality. The biological relevance of nitrogen containing spiro cyclic natural product scaffolds, is the key highlight to select and design these systems. But the Spirocycles contained only limited numbers of combinations of differently sized rings. This observations indicate that there should be significant potential to further expand spirocyclic chemical space for drug discovery.

The relevance of dipolar cycloaddtion reactions is employed as the key criterion for the preparation of biologically active nitrogen containing heterocycles and has offered numerous diversity for the organic chemists to accomplish the synthesis of complex heterocyclic systems with high selectivity. The extensive application of the dipolar cycloaddition reaction is based on the fact that the desired products can be obtained with high yield in a regio- and stereo controlled manner.

In this context, we are planning an effective dipolar cycloaddition strategy, by the *insitu* generation of 1,4-dipolar intermediate by the reaction of nitrogen containing nucleophiles like isoquinoline/quinoline and

dimethyl acetylenedicarboxylate (DMAD), for the construction of biologically active spiro- frameworks and to study the bioactivity of these compounds against various targets (Scheme 1).



Scheme 1

These substituted oxindole structures are of significant interest, both from a biological and a synthetic perspective, and will prove to be valuable in a wider synthetic context.